

10/ 725,267

Connecting via Winsock to STN

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LOGINID:sssptal202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS 4 OCT 03 MATHDI removed from STN
NEWS 5 OCT 04 CA/CAPLUS-Canadian Intellectual Property Office (CIPO) added
to core patent offices
NEWS 6 OCT 13 New CAS Information Use Policies Effective October 17, 2005
NEWS 7 OCT 17 STN(R) AnaVist(TM), Version 1.01, allows the export/download
of CAPLUS documents for use in third-party analysis and
visualization tools
NEWS 8 OCT 27 Free KWIC format extended in full-text databases
NEWS 9 OCT 27 DIOGENES content streamlined
NEWS 10 OCT 27 EPFULL enhanced with additional content
NEWS 11 NOV 14 CA/CAPLUS - Expanded coverage of German academic research
NEWS 12 NOV 30 REGISTRY/ZREGISTRY on STN(R) enhanced with experimental
spectral property data

NEWS EXPRESS NOVEMBER 18 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
<http://download.cas.org/express/v8.0-Discover/>

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:03:21 ON 01 DEC 2005

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION

10/ 725,267

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:03:30 ON 01 DEC 2005
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 29 NOV 2005 HIGHEST RN 868943-57-1
DICTIONARY FILE UPDATES: 29 NOV 2005 HIGHEST RN 868943-57-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

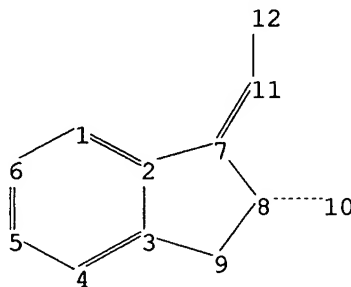
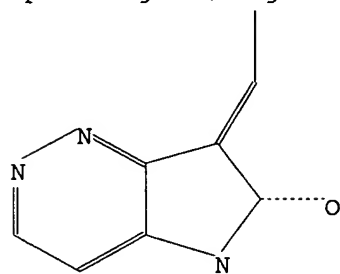
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10725267a.str



chain nodes :

10 11

ring nodes :

1 2 3 4 5 6 7 8 9 12

chain bonds :

7-11 8-10 11-12

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

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exact/norm bonds :

3-9 8-9 8-10

exact bonds :

2-7 7-8 7-11 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

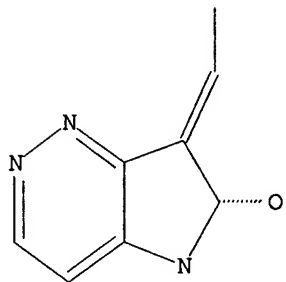
11:CLASS 12:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

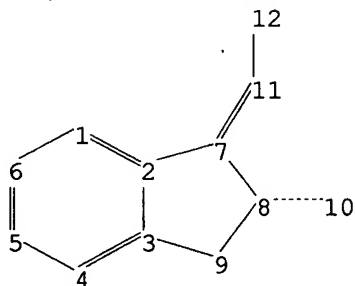
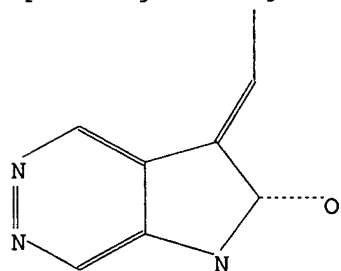
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\Program Files\Stnexp\Queries\10725267b.str



chain nodes :

10 11

ring nodes :

1 2 3 4 5 6 7 8 9 12

chain bonds :

7-11 8-10 11-12

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

exact/norm bonds :

10/ 725,267

3-9 8-9 8-10

exact bonds :

2-7 7-8 7-11 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

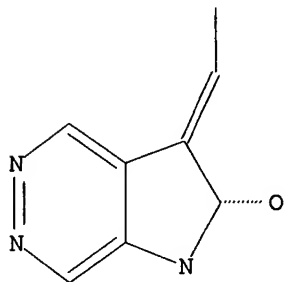
11:CLASS 12:Atom

L2 STRUCTURE UPLOADED

=> d 12

L2 HAS NO ANSWERS

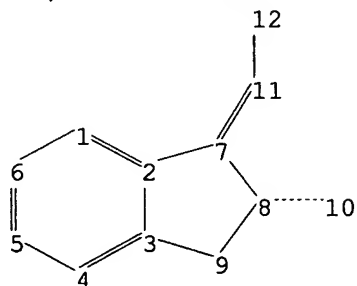
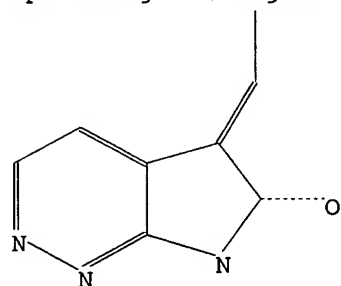
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\Program Files\Stnexp\Queries\10725267c.str



chain nodes :

10 11

ring nodes :

1 2 3 4 5 6 7 8 9 12

chain bonds :

7-11 8-10 11-12

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

exact/norm bonds :

3-9 8-9 8-10

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exact bonds :

2-7 7-8 7-11 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

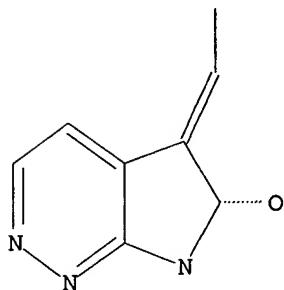
11:CLASS 12:Atom

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s (11 or 12 or 13) sample

SAMPLE SEARCH INITIATED 11:04:43 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM (L1 OR L2 OR L3)

=> s (11 or 12 or 13) full

FULL SEARCH INITIATED 11:04:48 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L5 0 SEA SSS FUL (L1 OR L2 OR L3)

=> s pyridaz? and pyrrolidin?

10/ 725,267

137119 PYRIDAZ?

528407 PYRROLIDIN?

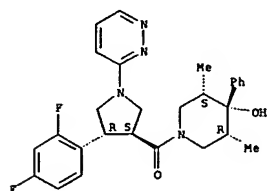
L6 1620 PYRIDAZ? AND PYRROLIDIN?

=> d scan 16

10/ 725,267

L6 1620 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 4-Piperidinol, 1-[[[(3R,4R)-4-(2,4-difluorophenyl)-1-(3-pyridazinyl)-3-pyrrolidinyl]carbonyl]-3,5-dimethyl-4-phenyl-,
(3a,4a,5a)- (9CI)
MF C28 H30 F2 N4 O2
CI CDM

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

10/ 725,267

=> s pyridaz? with pyrrolidin?

137119 PYRIDAZ?

1572826 WITH

528407 PYRROLIDIN?

L7 0 PYRIDAZ? WITH PYRROLIDIN?

(PYRIDAZ? (W) WITH (W) PYRROLIDIN?)

=> s pyridaz? same pyrrolidin?

137119 PYRIDAZ?

7 SAME

528407 PYRROLIDIN?

L8 0 PYRIDAZ? SAME PYRROLIDIN?

(PYRIDAZ? (W) SAME (W) PYRROLIDIN?)

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

369.05

369.26

FILE 'CAPLUS' ENTERED AT 11:06:45 ON 01 DEC 2005

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FILE LAST UPDATED: 30 Nov 2005 (20051130/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> d his

(FILE 'HOME' ENTERED AT 11:03:21 ON 01 DEC 2005)

FILE 'REGISTRY' ENTERED AT 11:03:30 ON 01 DEC 2005

L1 STRUCTURE UPLOADED

L2 STRUCTURE UPLOADED

L3 STRUCTURE UPLOADED

L4 0 S (L1 OR L2 OR L3) SAMPLE

L5 0 S (L1 OR L2 OR L3) FULL

L6 1620 S PYRIDAZ? AND PYRROLIDIN?

L7 0 S PYRIDAZ? WITH PYRROLIDIN?

L8 0 S PYRIDAZ? SAME PYRROLIDIN?

10/ 725,267

FILE 'CAPLUS' ENTERED AT 11:06:45 ON 01 DEC 2005

=> s 16

L9 523 L6

=> s 19 and (pyrrolidinone or "oxo-pyrrolidinyl")

11810 PYRROLIDINONE

147277 "OXO"

9989 "PYRROLIDINYL"

22 "OXO-PYRROLIDINYL"

("OXO"(W)"PYRROLIDINYL")

L10 14 L9 AND (PYRROLIDINONE OR "OXO-PYRROLIDINYL")

=> d l10 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 14 ANSWERS - CONTINUE? Y/(N):y

L10 ANSWER 1 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:696342 CAPIUS

DOCUMENT NUMBER: 141:225302

TITLE: Preparation of N-arylheterocycles as melanin

concentrating hormone (MCH) antagonists.

INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Boehme, Thomas; Hessler, Gerhard; Stahl, Petrar; Gretzke, Dirk

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 390 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

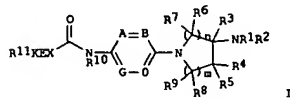
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2004072025 | A2 | 20040826 | WO 2004-EP1342 | 20040213 |
| WO 2004072025 | A3 | 20041223 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BV, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HD, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NG, NO, NZ, OM, PA, PE, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SN, SR, SS, SV, SY, TD, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| DE 10306250 | A1 | 20040909 | DE 2003-10306250 | 20030214 |
| CA 2516118 | AA | 20040826 | CA 2004-2516118 | 20040213 |
| EP 1597228 | A2 | 20051123 | EP 2004-710908 | 20040213 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 2004220191 | A1 | 20041104 | US 2004-779853 | 20040217 |
| PRIORITY APPLN. INFO.: | | | DE 2003-10306250 | A 20030214 |
| | | | US 2003-488545P | P 20030719 |
| | | | WO 2004-EP1342 | W 20040213 |

OTHER SOURCE(S): MARPAT 141:225302

GI



AB Title compds. [1: R1, R2 = H, alkyl, alkoxyalkyl, aryloxyalkyl, alkylcarbonyl, alkenylcarbonyl, etc.; R1R2N = atoms to form a 4-10 membered mono-, bi-, or spirocyclic (substituted) ring; R3 = H, alkyl, R4, R5 = H, alkyl, OH, alkoxy, alkylcarbonyloxy, alkylthio; R6-R9 = H, alkyl;

L10 ANSWER 1 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A



L10 ANSWER 1 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)

R6R7, R8R9 = O; A, B, D, G = N, CR42; AB, DG = CR42; R42 = H, F, Cl, Br, Iodo, CF3, NO2, cyano, OCF3, alkoxy, alkylthio, alkenyl, cycloalkyl, cycloalkoxy, cycloalkenyl, alkynyl, CO2H, etc.; R10 = H, alkyl, alkenyl, alkynyl; X = NR52, O, bond, C-C, C-tpbond, C, etc.; R52 = H, alkyl; E = (substituted) C3-14 carbocyclyl, heterocyclyl; K = bond, O, CH2O, S, SO, CO, C-C, C-tpbond, C, etc.; R11 = H, alkyl, alkoxyalkyl, alkenyl, alkynyl, 3-10 membered (substituted) mono-, bi-, tri- or spirocyclic ring; EKR11 = (unsatd.) tricyclic ring; m, n = 0-2, were prepd. Thus, N-[1-(4-aminophenyl)pyrrolidin-3-yl]piperidine was treated with carbonyldiimidazole and then with 4-(4-chlorophenyl)piperidine to give 4-(4-chlorophenyl)piperidine-1-carboxylic acid [4-[3-(acetylacetylaminopyrrolidin-1-yl)phenyl]amide. The latter at 30 mg/kg orally in female NMRI mice reduced milk consumption by 64%.

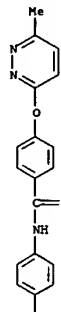
IT 748177-20-OP

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-arylheterocycles as MCH antagonists)

RN 748177-20-0 CAPIUS

CN Benamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-4-[(6-methyl-3-pyridazinyl)oxy]- (9CI) (CA INDEX NAME)



PAGE 1-A

L10 ANSWER 2 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:550948 CAPIUS

DOCUMENT NUMBER: 141:106496

TITLE: Preparation of substituted 1-piperidin-4-yl-4-pyrrolidin-3-yl-piperazine derivatives and their use as neurokinin antagonists

INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria; De Boeck, Benoit Christian Albert Ghislain; Leenaerts, Joseph Elisabeth

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

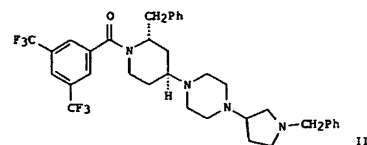
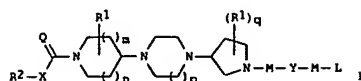
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004056799 | A2 | 20040708 | WO 2003-EP51041 | 20031217 |
| WO 2004056799 | A3 | 20040812 | | |
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| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AE, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2508657 | AA | 20040708 | CA 2003-2508657 | 20031217 |
| EP 1581518 | A2 | 20051005 | EP 2003-810849 | 20031217 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| PRIORITY APPLN. INFO.: | | | WO 2002-EP14831 | A 20021223 |
| | | | WO 2003-EP51041 | W 20031217 |

OTHER SOURCE(S): MARPAT 141:106496

GI

L10 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [Q = O or NR3; X = covalent bond, -O-, -S-, or -NR3; R1 independently = Ar1, Ar1-alkyl, and di(Ar1)-alkyl; R2 = Ar2, Ar2-alkyl, di(Ar2)-alkyl; R3 independently = H or alkyl; Y = covalent bond, -CO-, -SO2-, >C=CH- or >C=NR, wherein R = H, CN or NO2; M independently = covalent bond, (un)substituted-alkyl, -(un)saturated carbocycle; L = H, alkyl, alkoxy, Ar3oxy, alkylamine, etc.; Ar1 = (un)substituted phenyl; Ar2 = (un)substituted naphthalenyl or Ph with substituent(s) selected from halo, alkyl, CN, aminocarbonyl, and alkoxy; Ar3 = (un)substituted naphthalenyl or Ph with substituent(s) selected from halo, alkyl, CN, amino, alkoxy, OH, pyridinyl, etc.; Het1 = monocyclic heterocyclic radical selected from pyrrolyl, pyrazolyl, imidazolyl, furanyl, etc.; m = 1 or 2 provided that if m = 2, then n = 1; n = 0-2; p = 1-2; q = 0-1] and their pharmaceutically acceptable salts having neurokinin antagonistic activity, in particular NK1 antagonistic activity, a combined NK1/NK3 antagonistic activity and a combined NK1/NK2/NK3 antagonistic activity, their preparation, compns. comprising them and their

use as a medicine, in particular for the treatment of schizophrenia, anxiety, depression, emesis and IBS are disclosed. Thus, e.g., II was prepared by reaction of (2R-trans) 1-[3,5-bis(trifluoromethyl)benzoyl]-2-(phenylmethyl)-4-(1-piperazinyl)piperidine (preparation given) and 1-(phenylmethyl)-3-pyrrolidinone. The receptor binding values (pIC50) for the h-NK1 ranges for all compds. according to the invention between 10 and 6. In view of their capability to antagonize the actions of tachykinins by blocking the neurokinin receptors, and in particular antagonizing the actions of substance P and Neurokinin B by blocking the NK1, NK2 and NK3 receptors, the compds. according to the invention are useful as a medicine, in particular in the prophylactic and therapeutic treatment of tachykinin-mediated conditions, such as, for instance CNS disorders, in particular schizoaffective disorders, depression, anxiety disorders, stress-related disorders, sleep disorders, cognitive disorders, personality disorders, eating disorders, neurodegenerative diseases, addiction disorders, mood disorders, sexual dysfunction, pain and other CNS-related conditions; inflammation; allergic disorders; emesis;

L10 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:354948 CAPLUS
DOCUMENT NUMBER: 140:357361
TITLE: Preparation of pyrazolopyridazines as GSK-3 kinase inhibitors for treating Type II Diabetes
INVENTOR(S): Dickerson, Scott Howard; Tavares, Francis Xavier; Zhou, Huiqiang
PATENT ASSIGNEE(S): Smithline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 136 pp.
DOCUMENT TYPE: CODEN: PIXX02
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: English
PATENT INFORMATION: 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004035588 | A1 | 20040429 | WO 2003-US32473 | 20031014 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GM, GW, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1551842 | A1 | 20050713 | EP 2003-808999 | 20031014 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| PRIORITY APPL. INFO.: US 2002-418522P F 20021015 WO 2003-US32473 W 20031014 | | | | |
| OTHER SOURCE(S): MARPAT 140:357361 | | | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein D = N, CH; R1 = (un)substituted heteroaryl; n = 1 or 2; R2 = H, alk(en)yl, haloalkyl, cycloalkyl, halo, heterocyclyl, heteroaryl, CN, azido, NO2, OH and derivs., CO2H and derivs., CONH2 and derivs., NH2 and derivs., S(O)qH and derivs., etc.; q = 0-2; R3 = Qp-Q1; Q = O, NH and derivs., S(O)q; p = 0 or 1; Q1 = ar/cyclo/halo/alkyl, heteroaryl, (un)substituted aryl, etc.; their salts, solvates, and physiol. functional derivs.] were prepared as GSK3 kinase inhibitors for treating Type II Diabetes mellitus. For example, II was prepared by cycloaddn. of 1-aminopyridazin-3-ium iodide (preparation given) with 3-buten-2-one

in CH2Cl2, reaction of the methylketone with DMF di-tert-butylacetal in DMF, and cyclocondensation of the α , β -unsatd. ketone with N-cyclopropylguanidine-0.5H2SO4 (preparation given) in DMF in the presence of K2CO3. I displayed pIC50 values > 5.0 for the inhibition of GSK3 kinase.

IT 551919-87-0P, 1-[3-[[4-pyrazolo[1,5-b]pyridazin-3-yl]-2-pyrimidinyl]amino]propyl]-2-pyrrolidinone 551920-35-8P

N-Cyclopropyl-4-[6-(1-pyrrolidinyl)pyrazolo[1,5-b]pyridazin-3-yl]-2-pyrimidinamine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L10 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

gastrointestinal disorders, in particular irritable bowel syndrome (IBS); skin disorders; vasospastic diseases; fibrosing and collagen diseases; disorders related to immune enhancement or suppression and rheumatic diseases and body wt. control.

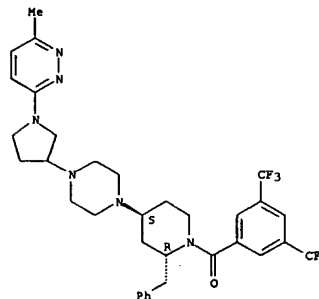
IT 717924-08-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stereoselective preparation of piperidinylpyrrolidinylpiperazines with tachykinin antagonist activity)

RN 717924-08-8 CAPLUS

CH Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-(1-(6-methyl-3-pyridazinyl)-3-pyrrolidinyl)-1-piperazinyl]-2-(phenylmethyl)-, (2R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



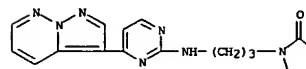
L10 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(GSK3 inhibitor; prepn. of pyrazolopyridazines as GSK-3 inhibitors for treating Type II Diabetes)

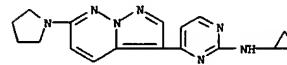
RN 551919-87-0 CAPLUS

CH 2-Pyrrolidinone, 1-[3-[[4-pyrazolo[1,5-b]pyridazin-3-yl]-2-pyrimidinyl]amino]propyl]- (9CI) (CA INDEX NAME)



RN 551920-35-5 CAPLUS

CH 2-Pyrimidinamine, N-cyclopropyl-4-[6-(1-pyrrolidinyl)pyrazolo[1,5-b]pyridazin-3-yl]- (9CI) (CA INDEX NAME)

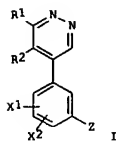


REFERENCE COUNT: 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

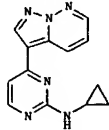
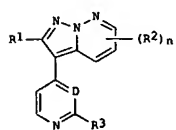
L10 ANSWER 4 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2004:143112 CAPIUS
 DOCUMENT NUMBER: 140:181457
 TITLE: Preparation of phenylpyridazine derivatives as ligands for GABA receptors
 INVENTOR(S): Blackaby, Wesley Peter; Blurton, Peter; Burkamp, Frank; Fletcher, Stephen Robert; Jennings, Andrew; Lewis, Richard Thomas; Macleod, Angus Murray; Street, Leslie Joseph; Thomas, Steve; Van Niel, Monique Bodil; Wilson, Kevin
 PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
 SOURCE: PCT Int. Appl., 127 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|--|------------|
| WO 2004014865 | A1 | 20040219 | WO 2003-GB3376 | 20030804 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RV: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SH, TD, TG | | | |
| CA 2495285 | AA | 20040219 | CA 2003-2495285 | 20030804 |
| EP 1532120 | A1 | 20050525 | EP 2003-784243 | 20030804 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| PRIORITY APPLN. INFO.: | | | | |
| | | | GB 2002-18874 | A 20020813 |
| | | | GB 2002-29591 | A 20021219 |
| | | | WO 2003-GB3376 | W 20030804 |
| OTHER SOURCE(S): | | | CASREACT 140:181457; MARPAT 140:181457 | |
| GI: | | | | |



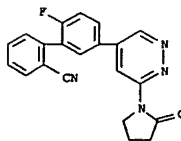
L10 ANSWER 5 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2003:491232 CAPIUS
 DOCUMENT NUMBER: 139:69273
 TITLE: Preparation of (pyrazolo[1,5-b]pyridazinyl)pyrimidinamines and analogs as cyclin dependent kinase inhibitors for treatment of cancer
 INVENTOR(S): Harris, Phillip Anthony; Jung, David Kendall; Peel, Michael Robert; Reno, Michael John; Rheault, Tara; Renae, Stanford; Jennifer Badiang; Stevens, Kirk; Lawrence, Veal, James Marvin; Badiang, Jennifer G.; et al.
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 134 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2003051886 | A1 | 20030626 | WO 2002-US39672 | 20021211 |
| WO 2003051886 | C1 | 20040819 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RV: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1463730 | A1 | 20041006 | EP 2002-805104 | 20021211 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | |
| US 2005090507 | A1 | 20050428 | US 2003-499179 | 20021211 |
| JP 200524609 | T2 | 20050810 | JP 2003-552768 | 20021211 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2001-341798P | P 20011217 |
| | | | WO 2002-US39672 | W 20021211 |
| OTHER SOURCE(S): | | | MARPAT 139:69273 | |
| GI: | | | | |



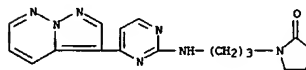
AB Fused pyridazine derivs. I [wherein D = N or CH; R1 = H, alkyl, alkenyl, alkynyl, alkoxy, halo, CF3, OH, CN, SOO-2-alkyl, or NR4R5; R2 = H, (cyclo)alkyl, alkenyl, alkynyl, haloalkyl, halo, heterocyclyl,

L10 ANSWER 4 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 AB 4-Phenylpyridazines I [X1 = H, halogen, alkyl, CF3, alkoxy; X2 = H, halogen; Z = H, halogen, CN, CH2CN, CF3, NO2, OH, alkoxy, CBO, alkoxy, carbonyl, (un)substituted aryl, heteroaryl, heteroarylalkoxy; R1 = H, hydrocarbon, heterocyclic, halogen, CN, CF3, NO2, O3SCF3, (un)substituted OH, SH, S(O)H, SO2H, SO2NH2, NH2, CO2H, CONH2, CH2OH, acyl, aryl, heteroaryl; R2 = H, alkoxy, carbonyl] were prepared for use as selective ligands for GABA receptors, with particularly high affinity for the α2 and/or α3 and/or α5 subunit in the treatment of adverse conditions of the central nervous system, including anxiety, convulsions and cognitive disorders (no data). Thus, Et 2,3-diphenylcycloprop-2-enecarboxylate was treated with CH2N2 to give Et 3,5-diphenylpyridazine-4-carboxylate.
 IT RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Preparation of phenylpyridazine derivs. as ligands for GABA receptors)
 RN 660424-98-6 CAPIUS
 CN [1,1'-Biphenyl]-2-carbonitrile, 2'-fluoro-5'-[6-(2-oxo-1-pyrrolidinyl)-4-pyridazinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 (hetero)aryl, CN, N3, NO2, OR8, OR6R8, R6R7, R6R11, OSO2R9, SOO-2R10, COR7, CO2R7, CONR4R5, NHR12C(NR4)NR4R5, OCONR4R5, OCO2R7, C(NR4)NR4R5, NR4R5, OCOR7, or NR8COR8; R3 = QpQ1; R4 and R5 = independently H, (cyclo)alkyl, or COR9; or NR4R5 = heterocyclyl; R6 = (cyclo)alkylene, (cyclo)alkenylene, alkynylene, or (hetero)arylene; R7 = H, (cyclo)alkyl, alkenyl, alkynyl, NR4R5, (hetero)aryl, aralkyl, heterocyclyl, SOO-2R10, COR8, CO2R8, CONR4R5, NHR12C(NR4)NR4R5, OCONR4R5, OCO2R8, C(NR4)NR4R5, NR4R5, OCOR7, or NR8COR8; R8 = H, (cyclo)alkyl, alkenyl, alkynyl, NR4R5, (hetero)aryl, aralkyl, heterocyclyl, or SO2R9; R9 = (halo)alkyl; R10 = H, (cyclo)alkyl, alkenyl, alkynyl, NR4R5, (hetero)aryl, aralkyl, heterocyclyl, COR8, CO2R8, CONR4R5, NHR12C(NR4)NR4R5, OCONR4R5, OCO2R8, C(NR4)NR4R5, NR4R5, or NR8COR8; R11 = OR7, OCONR4R5, OCO2R7, or OCOR7; R12 = alkylene; Q = O, NR8, or SOO-2; Q1 = (cyclo)alkyl, haloalkyl, (un)substituted aryl, heteroaryl, aralkyl, or R6NR4R5; n = 1-2; p = 0-1; and salts solvates, and physiol. functional derivs. thereof) were prepd. as cyclin dependent kinase (CDK) inhibitors. For example, reaction of 1-aminopyridazin-3-yl iodide with 3-butyne-2-one in the presence of KOH in H2O provided 1-(pyrazolo[1,5-b]pyridazin-3-yl)ethanone (69%). Coupling of the ethanone with DMF di-tert-Bu acetal afforded (2E)-3-(dimethylamino)-1-pyrazolo[1,5-b]pyridazin-3-yl-2-propen-1-one (70%), which was cyclized with N-cyclopropylguanidine=O.SH2SO4 in DMF to give II (75%). The latter inhibited CDK4 and CDK2 with IC50 values of <0.1 μM and <1.0 μM, resp. Thus, I are useful for the treatment of hyperproliferative diseases, such as cancer (no data).
 IT 551919-87-OP, 1-[3-[(4-pyrazolo[1,5-b]pyridazin-3-yl)-2-pyrimidinyl]amino]propyl]-2-pyrrolidinone 551920-35-SP, N-Cyclopropyl-4-[6-(1-pyrrolidinyl)pyrazolo[1,5-b]pyridazin-3-yl]-2-pyrimidinamine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (CDK inhibitor: preparation of (pyrazolo[1,5-b]pyridazinyl)pyrimidinamines and analogs as CDC inhibitors for treatment of cancer)
 RN 551919-87-0 CAPIUS
 CN 2-Pyrrolidinone, 1-[3-[(4-pyrazolo[1,5-b]pyridazin-3-yl)-2-pyrimidinyl]amino]propyl]- (9CI) (CA INDEX NAME)



RN 551920-35-5 CAPIUS
 CN 2-Pyrimidinamine, N-cyclopropyl-4-[6-(1-pyrrolidinyl)pyrazolo[1,5-b]pyridazin-3-yl]- (9CI) (CA INDEX NAME)

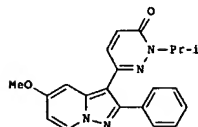
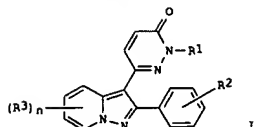


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 14 CAPIUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:171898 CAPIUS
 DOCUMENT NUMBER: 136:232298
 TITLE: Pyrazolopyridine compounds and pharmaceutical use thereof as adenosine receptor antagonists
 INVENTOR(S): Akahane, Atsushi; Tanaka, Akira; Minagawa, Masatoshi; Itani, Hiromichi; Ohtake, Hiroaki
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 149 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|-------------------|-----------------|------------|
| WO 2002018382 | A1 | 20020307 | WO 2001-JP7322 | 20010827 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2001080188 | A5 | 20020313 | AU 2001-80188 | 20010827 |
| EP 1313733 | A1 | 20030528 | EP 2001-958521 | 20010827 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| JP 2004507542 | T2 | 20040311 | JP 2002-523897 | 20010827 |
| US 2004110763 | A1 | 20040610 | US 2003-344894 | 20030226 |
| PRIORITY APPLN. INFO.: | | | AU 2000-9698 | A 20000828 |
| OTHER SOURCE(S): | | MARPAT 136:232298 | WO 2001-JP7322 | W 20010827 |

G1



AB Pyrazolopyridines I are disclosed [wherein: R1 = H, (un)substituted lower alkyl or cycloalkyl which may be interrupted by an O or N; R2 = H, halo, or lower alkoxy; R3 = independent substituent(s); and n = 1 to 4; or a salt thereof]. The compds. are adenosine antagonists, and are thus useful for the prevention and/or treatment of a wide variety of medical conditions, e.g., depression, dementia (e.g., Alzheimer's disease, cerebrovascular dementia, dementia accompanying Parkinson's disease, etc.) Parkinson's disease, anxiety, pain, cerebrovascular disease (e.g. stroke, etc.), heart failure, and the like. In particular, treatment of Parkinson's disease and/or associated symptoms is specifically claimed.

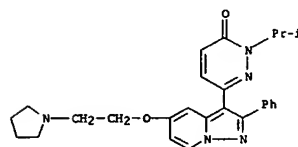
Over

330 example compds. are described. For instance, cyclization of 1-amino-4-methoxypyridinium iodide with 3-(benzenesulfonyl)-6-(phenylethynyl)pyridazine, gave 3-(3-phenylsulfonylpyridazin-6-yl)-5-methoxy-2-phenylpyrazolo[1,5-a]pyridine. This compound was hydrolyzed at the phenylsulfonyl group, and the resultant pyridazinone was N-alkylated with NaH/DHF and iso-Pr-I to give title compound II. In radioligand binding assays, II had Ki values of 0.15 nM for human A1 receptors and 1.38 nM for human A2A receptors. In an anticatalepsy test in mice, 6 tested example compds. I at 3.2 mg/kg orally completely suppressed the cataleptic effects of haloperidol at 0.32 mg/kg i.p.

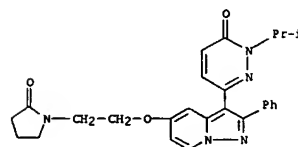
IT

403490-32-4P, 5-[2-(1-Pyrrolidinyl)ethoxy]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine
 403490-57-3P, 5-[2-(2-Oxo-1-pyrrolidinyl)ethoxy]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine
 403491-49-5P, 5-(1-Pyrrolidinylcarbonyl)-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine
 403491-92-7P, N-[2-(1-Pyrrolidinyl)ethyl]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine-5-carboxamide
 403491-94-1P, 5-(1-Pyrrolidinyl)-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-pyrazolo[1,5-a]pyridine 403493-08-3P, 5-(2-Oxo-1-pyrrolidinyl)-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine 403493-46-9P, 6-[2-(1-Pyrrolidinyl)-2-oxoethoxy]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine 403494-39-3P, (S)-5-[[2-(Hydroxymethyl)pyrrolidin-1-yl]carbonyl]-3-(3-oxo-2-isopropyl-

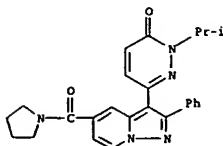
2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine
 403494-57-5P, (S)-5-[[2-(Methoxymethyl)pyrrolidin-1-yl]carbonyl]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine 403494-63-3P, (R)-5-[[2-(Methoxymethyl)pyrrolidin-1-yl]carbonyl]-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; prepn. of pyrazolopyridines as adenosine receptor antagonists)
 RN 403490-32-4 CAPIUS
 CN 3(2H)-Pyridazinone, 2-(1-methylethyl)-6-[2-phenyl-5-[2-(1-pyrrolidinyl)ethoxy]pyrazolo[1,5-a]pyridin-3-yl]- (9CI) (CA INDEX NAME)



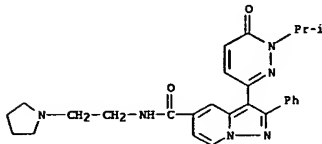
RN 403490-57-3 CAPIUS
 CN 3(2H)-Pyridazinone, 2-(1-methylethyl)-6-[5-[2-(2-oxo-1-pyrrolidinyl)ethoxy]-2-phenylpyrazolo[1,5-a]pyridin-3-yl]- (9CI) (CA INDEX NAME)



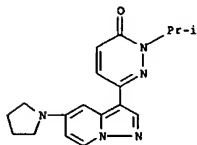
RN 403491-49-6 CAPIUS
 CN Pyridazine, 1-[[3-[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



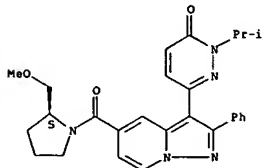
RN 403491-82-7 CAPLUS
CN Pyrrolo[1,5-a]pyridine-5-carboxamide, 3-[[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 403491-94-1 CAPLUS
CN 3(2H)-Pyridazinone, 2-(1-methylethyl)-6-[5-(1-pyrrolidinyl)pyrazolo[1,5-a]pyridin-3-yl]- (9CI) (CA INDEX NAME)

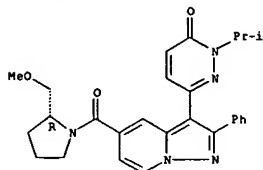


RN 403493-08-3 CAPLUS
CN 3(2H)-Pyridazinone, 2-(1-methylethyl)-6-[5-(2-oxo-1-pyrrolidinyl)-2-phenylpyrazolo[1,5-a]pyridin-3-yl]- (9CI) (CA INDEX NAME)

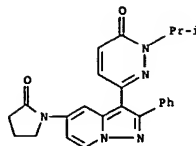


RN 403494-63-3 CAPLUS
CN Pyrrolidine, 1-[[[3-[[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-5-yl]carbonyl]-2-(methoxymethyl)-, (2R)- (9CI) (CA INDEX NAME)

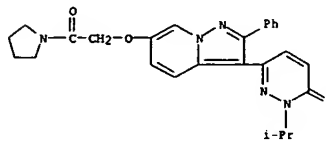
Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

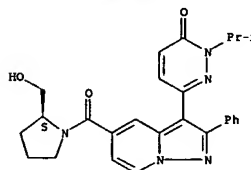


RN 403493-46-9 CAPLUS
CN Pyrrolidine, 1-[[[3-[[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)



RN 403494-39-3 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[[[3-[[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-5-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 403494-57-5 CAPLUS
CN Pyrrolidine, 1-[[[3-[[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-2-phenylpyrazolo[1,5-a]pyridin-5-yl]carbonyl]-2-(methoxymethyl)-, (2S)- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: 133:164010

TITLE: Preparation of caprolactams, piperidinones, and pyrrolidinones as Factor Xa inhibitors in prevention or treatment of thromboses, coronary artery disease, or cerebrovascular disease in mammals

INVENTOR(S): Stein, Philip D.; Bisacchi, Gregory S.; Shi, Yan;

O'Connor, Stephen P.; Li, Chi

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 284 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

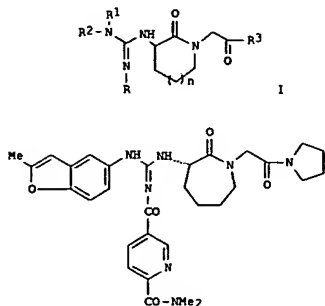
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2000047207 | A1 | 20000817 | WO 2000-US2883 | 20000202 |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2360305 | AA | 20000817 | CA 2000-2360305 | 20000202 |
| US 6297233 | B1 | 20011002 | US 2000-496571 | 20000202 |
| EP 1156803 | A1 | 20011128 | EP 2000-914505 | 20000202 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| AU 760174 | B2 | 20030508 | AU 2000-35887 | 20000202 |
| PRIORITY APPLN. INFO.: | | | US 1999-119372P | P 19990209 |
| | | | US 1999-167428P | P 19991124 |
| | | | WO 2000-US2883 | W 20000202 |

OTHER SOURCE(S): MARPAT 133:164010

G1



AB Title chiral compds. [1: R = CN, CONH2, COOCH2CH3, COC6H5, SO2NH2, OCH3, SO2N(CH3)2, SO2CH3, arylsulfonyl, heterocyclosulfonyl, (un)substituted Ph, heterocyclyl, heterocycloacarbonyl, alkoxycarbonyl, arylaminocarbonyl; R1 = H, arylalkyl; R2 = alkyl, (un)substituted Ph, benzoheterocyclyl, cyclopentyl; R3 = heterocyclylamino, heterocyclyl, alkoxy, cycloalkylamino, OH; n = 0, 1, 2], pharmaceutically acceptable salts, and stereoisomers are pred. as Factor Xa inhibitors and are useful as anticoagulants (no data). A method for treating cardiovascular diseases associated with thromboses is also provided. Thus, the title compound II

was

prepared

IT 288075-69-4P 288079-55-OP 288080-02-4P

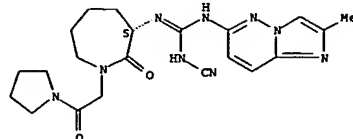
288080-03-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of caprolactams as Factor Xa inhibitors in prevention or treatment of thromboses, coronary artery disease, or cerebrovascular disease in mammals)

RN 288075-69-4 CAPLUS

CN Pyrididine, 1-[[[(3S)-3-[[[(cyanoamino){(2-methylimidazo[1,2-b]pyridazin-6-yl)amino]methylene]amino]hexahydro-2-oxo-1H-azepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)

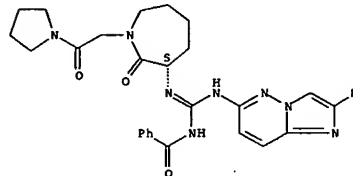
Absolute stereochemistry.



RN 288079-55-0 CAPLUS

CN Benamide, N-[[[(3S)-hexahydro-2-oxo-1-{2-oxo-2-(1-pyrrolidinyl)ethyl}-1H-azepin-3-yl)amino] [(2-methylimidazo[1,2-b]pyridazin-6-yl)amino]methylene]- (9CI) (CA INDEX NAME)

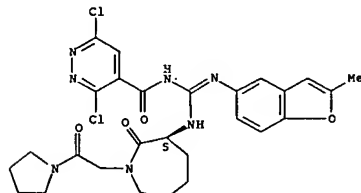
Absolute stereochemistry.



RN 288080-02-4 CAPLUS

CN 4-Pyridazinecarboxamide, 3,6-dichloro-N-[[[(3S)-hexahydro-2-oxo-1-{2-oxo-2-(1-pyrrolidinyl)ethyl}-1H-azepin-3-yl)amino] [(2-methyl-5-benzofuranyl)amino]methylene]- (9CI) (CA INDEX NAME)

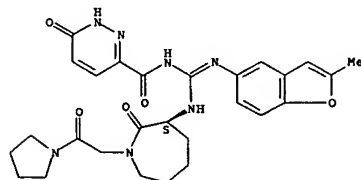
Absolute stereochemistry.



RN 288080-03-5 CAPLUS

CN 3-Pyridazinecarboxamide, N-[[[(3S)-hexahydro-2-oxo-1-{2-oxo-2-(1-pyrrolidinyl)ethyl}-1H-azepin-3-yl)amino] [(2-methyl-5-benzofuranyl)amino]methylene]-1,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2000:534991 CAPLUS

DOCUMENT NUMBER: 133:135229

TITLE: Preparation of cyclic amino-substituted N-aryl or N-heteroaryl cyclic amines as antidepressants

INVENTOR(S): Posa, Michael A.; Tortolani, David R.; Mattson, Ronald J.; Yevich, Joseph P.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

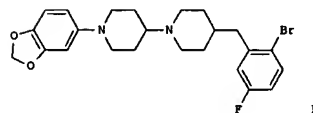
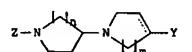
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

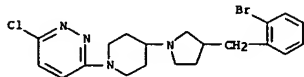
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|------------|
| WO 2000044376 | A1 | 20000803 | WO 1999-US30501 | 19991221 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2360683 | AA | 20000803 | CA 1999-2360683 | 19991221 |
| US 6225324 | B1 | 20010501 | US 1999-467957 | 19991221 |
| BR 9916618 | A | 20011023 | BR 1999-16618 | 19991221 |
| EP 1146871 | A1 | 20011024 | EP 1999-968927 | 19991221 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| TR 200101939 | T2 | 20020521 | TR 2001-200101939 | 19991221 |
| JP 2002535365 | T2 | 20021022 | JP 2000-595679 | 19991221 |
| AU 771234 | B2 | 20040318 | AU 2000-27122 | 19991221 |
| PRIORITY APPLN. INFO.: | | | US 1999-117651P | P 19990128 |
| | | | WO 1999-US30501 | W 19991221 |

OTHER SOURCE(S): MARPAT 133:135229

G1



L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 AB The title compds. [1; 2 = (un)substituted Ph, benzodioxolone, pyridine, etc.; m, n = 1-3; Y = (un)substituted CH₂Ph, indol-3-yl], useful antidepressant agents demonstrating potent inhibition of 5-HT reuptake, were prepared. Thus, reacting 1-(benzodioxol-5-yl)-4-piperidone (preparation given) with 4-(2-bromo-5-fluorobenzyl)piperidine and NaH(OAc)3 in THF and AcOH over 4A sieves afforded 371 II. Compds. I are effective at 5-20 mg/kg/day, when administered orally.
 IT 286469-17-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyclic amino-substituted N-aryl or N-heteroaryl cyclic amines as antidepressants)
 RN 286469-17-8 CAPLUS
 CN Pyridazine, 3-[4-{3-[(2-bromophenyl)methyl]-1-pyrrolidinyl}-1-piperidinyl]-6-chloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

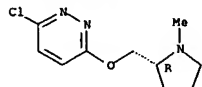
L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1999:571815 CAPLUS
 DOCUMENT NUMBER: 131:214191
 TITLE: Preparation of 3-(heterocyclymethoxy)pyridines as nicotinic cholinergic agonists
 INVENTOR(S): Abreo, Melvyn A.; Gunn, David E.; Lin, Nan-Horng; Garvey, David S.; Holladay, Mark W.; Ryther, Keith B.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 391,749, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| US 5948793 | A | 19990907 | US 1995-474873 | 19950607 |
| CA 2223062 | AA | 19961219 | CA 1996-2223062 | 19960528 |
| WO 9640682 | A1 | 19961219 | WO 1996-057804 | 19960528 |
| V: AU, CA, JP, KR, MX | | | | |
| RV: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9658045 | A1 | 19961230 | AU 1996-58045 | 19960528 |
| AU 709784 | B2 | 19990909 | | |
| EP 846114 | A1 | 19980610 | EP 1996-914786 | 19960528 |
| EP 846114 | B1 | 20011205 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2000509011 | T2 | 20000718 | JP 1997-500765 | 19960528 |
| AT 210130 | E | 20011215 | AT 1996-914786 | 19960528 |
| PT 846114 | T | 20020531 | PT 1996-914786 | 19960528 |
| ES 2171222 | T3 | 20020901 | ES 1996-914786 | 19960528 |
| HK 1011866 | A1 | 20021011 | HK 1998-113169 | 19981210 |
| PRIORITY APPLN. INFO.: | | | | |
| US 1992-959005 B2 19921009 | | | | |
| US 1993-126481 B2 19930928 | | | | |
| US 1993-129223 B1 19931004 | | | | |
| US 1995-391749 B2 19950221 | | | | |
| US 1995-474873 A 19950607 | | | | |
| US 1995-485537 A 19950607 | | | | |
| WO 1996-057804 W 19960528 | | | | |

OTHER SOURCE(S): MARPAT 131:214191
 AB R121CH2022R2 [1; R1 = H, alkyl, allyl; R2 = H, Cl, F, alkyl; Z1 = azetidine-, pyrrolidine-, or piperidine-1,2-diyl; Z2 = (un)substituted pyridine-3,2-diyl] were prepared. Thus, (R)-1-methyl-2-pyrrolidinemethanol was etherified by 3-hydroxypyridine to give (R)-Me21CH2022H (Z1 = pyrrolidine-1,2-diyl, Z2 = pyridine-3,2-diyl). Data for biol. activity of I were given.
 IT 228856-93-7P 228856-96-0P 228857-07-6P
 228857-10-1P 228857-38-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 3-(heterocyclymethoxy)pyridines as nicotinic cholinergic agonists)
 RN 228856-93-7 CAPLUS
 CN Pyridazine, 3-chloro-6-[[[(2R)-1-methyl-2-pyrrolidinyl]methoxy]- (9CI) (CA INDEX NAME)

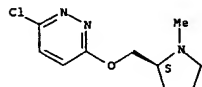
L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry. Rotation (+).



RN 228856-96-0 CAPLUS
 CN Pyridazine, 3-chloro-6-[[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

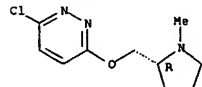


RN 228857-07-6 CAPLUS
 CN Pyridazine, 3-chloro-6-[[[(2R)-1-methyl-2-pyrrolidinyl]methoxy]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 228856-93-7
 CMF C10 H14 Cl N3 O

Absolute stereochemistry. Rotation (+).



CH 2

CRN 144-62-7
 CMF C2 H2 O4



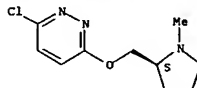
RN 228857-10-1 CAPLUS
 CN Pyridazine, 3-chloro-6-[[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH 1

CRN 228856-96-0
 CMF C10 H14 Cl N3 O

Absolute stereochemistry.



CH 2

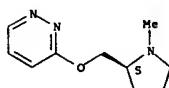
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 228857-38-3 CAPLUS
 CN Pyridazine, 3-[[[(2S)-1-methyl-2-pyrrolidinyl]methoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

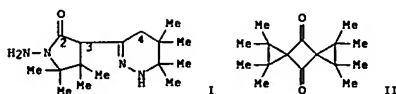
Absolute stereochemistry.



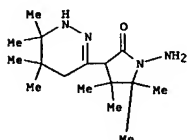
● 2 HCl

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1994:483247 CAPLUS
 DOCUMENT NUMBER: 121:83247
 TITLE: Unexpected formation of 1-amino-4,4,5,5-tetramethyl-3-(5,5,6,6-tetramethyl-1,4,5,6-tetrahydropyridazin-3-yl)pyrrolidin-2-one
 AUTHOR(S): Voss, Jürgen; Roeske, Rüdiger
 CORPORATE SOURCE: Inst. Org. Chem., Univ. Hamburg, Hamburg, D-20146, Germany
 SOURCE: Zeitschrift fuer Naturforschung, B: Chemical Sciences (1994), 49(5), 672-4
 CODEN: ZNBSEN; ISSN: 0932-0776
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 121:83247
 GI

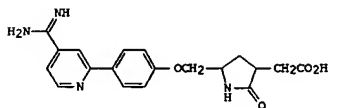


AB The title compound I is formed on reaction of octamethyldispiro[2.1.2]octane-4,8-dione (II) with hydrazine. Its structure is established by x-ray diffraction anal.
 IT 156424-84-9P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal structure of)
 RN 156424-84-9 CAPLUS
 CN 2-Pyrrolidinone, 1-amino-4,4,5,5-tetramethyl-3-(1,4,5,6-tetrahydro-5,5,6,6-tetramethyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1993:517098 CAPLUS
 DOCUMENT NUMBER: 119:117098
 TITLE: Preparation of 2-pyrrolidinone-3-acetates and analogs as cell aggregation inhibitors
 INVENTOR(S): Austel, Volkhard; Eisert, Wolfgang; Himmelsbach, Frank; Linz, Guenter; Mueller, Thomas; Pieper, Helmut; Weisenberger, Johannes
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
 SOURCE: Eur. Pat. Appl., 73 pp.
 CODEN: EPXKDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

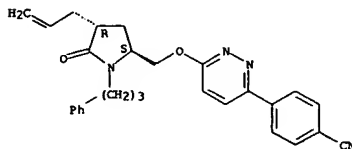
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|-------------|
| EP 528369 | A2 | 19930224 | EP 1992-113877 | 19920814 |
| EP 528369 | A3 | 19930421 | | |
| EP 528369 | B1 | 19931124 | | |
| DE 4127404 | A1 | 19930225 | DE 1991-4127404 | 19910819 |
| AT 186906 | E | 19991215 | AT 1992-113877 | 19920814 |
| CA 2076311 | AA | 19930220 | CA 1992-2076311 | 19920818 |
| NO 9203235 | A | 19930222 | NO 1992-3235 | 19920818 |
| AU 9221119 | A1 | 19930225 | AU 1992-21119 | 19920818 |
| AU 654372 | B2 | 19941103 | | |
| JP 06025227 | A2 | 19940201 | JP 1992-219149 | 19920818 |
| ZA 9206205 | A | 19940218 | ZA 1992-6205 | 19920818 |
| IL 102847 | A1 | 19961114 | IL 1992-102847 | 19920818 |
| US 5455348 | A | 19951003 | US 1993-173603 | 19931223 |
| PRIORITY APPL. INFO.: | | | DE 1991-4127404 | A 19910819 |
| OTHER SOURCE(S): | | | US 1992-929870 | B1 19920814 |
| GI | | | | |



AB EYAX1X2X3X4X5B [A = (substituted) bivalent (oxo)alkyleneimino; B = NH2, C:(NH)NH2, NHC:(NH)NH2, etc.; E = CO2H, alkoxycarbonyl, etc.; X1 = bond, alkylene; X2 = bond, O, NH, SO2NH, etc.; X3, X5 = (hetero)cycloalkylene, (hetero)arylene, etc.; X4 = bond, O, CH2, CO, NH, etc.; X3X4X5 = phenylene, (CH2)3-5, etc.; Y = alkylene, NHCH2, OCH2, etc.] were prepared
 Thus, 4-(5-cyano-2-pyridyl)phenol (preparation given) was condensed with

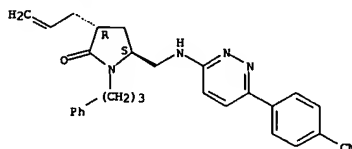
L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 (3S,5S)-3-[(tert-butyloxycarbonyl)methyl]-5-[(methanesulfonyloxy)methyl]-2-pyrrolidinone and the product converted in 2 steps to title compd. (3S,5S)-I which had ED50 of 0.06 µM against collagen-induced platelet aggregation in vitro.
 IT 149354-16-5P 149354-18-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of cell aggregation inhibitors)
 RN 149354-16-5 CAPLUS
 CN Benzonitrile, 4-[6-[[[5-oxo-1-(3-phenylpropyl)-4-(2-propenyl)-2-pyrrolidinyl]methoxy]-3-pyridazinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149354-18-7 CAPLUS
 CN Benzonitrile, 4-[6-[[[5-oxo-1-(3-phenylpropyl)-4-(2-propenyl)-2-pyrrolidinyl]methyl]amino]-3-pyridazinyl]-, (2S-trans)- (9CI) (CA INDEX NAME)

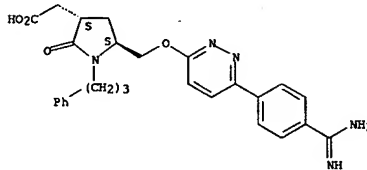
Absolute stereochemistry.



IT 149354-50-5P 149354-59-6P 149354-60-9P
 149354-61-0P 149354-62-1P 149354-76-7P
 149354-77-8P 149354-78-9P 149354-79-0P
 149355-32-8P 149355-33-9P 149355-37-3P
 149355-38-4P 149355-39-5P 149355-41-9P
 149355-43-1P 149355-53-3P 149367-96-4P
 149377-23-1P 149377-24-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of, as cell aggregation inhibitor)
 RN 149354-58-5 CAPLUS

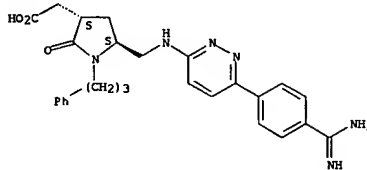
L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminomethyl)phenyl]-3-pyridazinyl]oxy]methyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



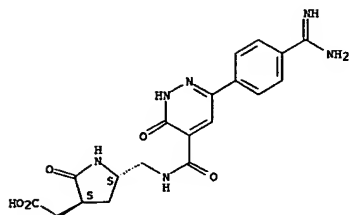
RN 149354-59-6 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminomethyl)phenyl]-3-pyridazinyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



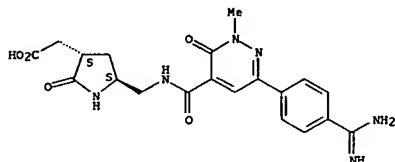
RN 149354-60-9 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



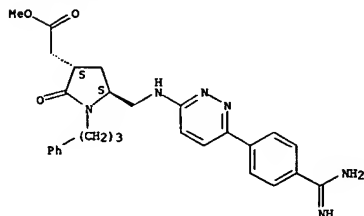
RN 149354-61-0 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149354-62-1 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

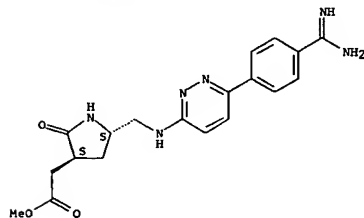
Absolute stereochemistry.



● HCl

RN 149354-78-9 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-3-pyridazinyl]amino]methyl]-2-oxo-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

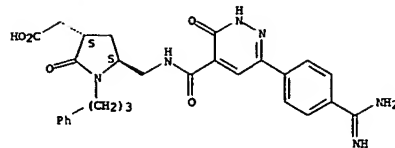
Absolute stereochemistry.



● HCl

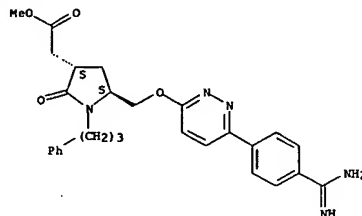
RN 149354-79-0 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149354-76-7 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-3-pyridazinyl]oxy]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

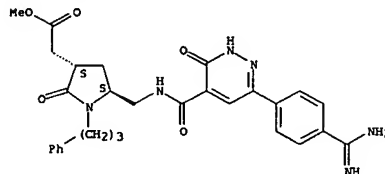
Absolute stereochemistry.



● HCl

RN 149354-77-8 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-3-pyridazinyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

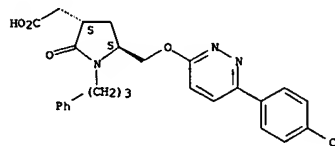
Absolute stereochemistry.



● HCl

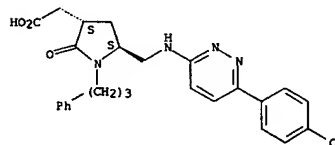
RN 149355-32-8 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(cyanophenyl)-3-pyridazinyl]oxy]methyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



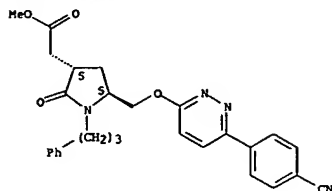
RN 149355-33-9 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(cyanophenyl)-3-pyridazinyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



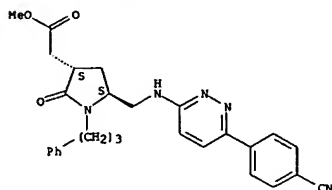
RN 149355-37-3 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[6-[4-(cyanophenyl)-3-pyridazinyl]oxy]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



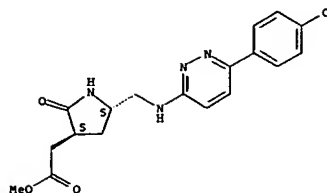
RN 149355-38-4 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



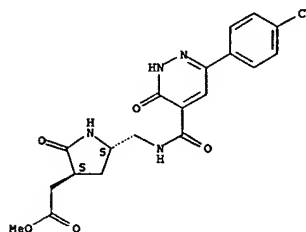
RN 149355-39-5 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



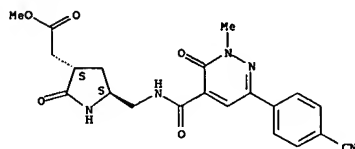
RN 149355-41-9 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



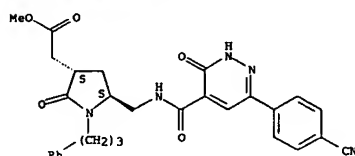
RN 149355-43-1 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



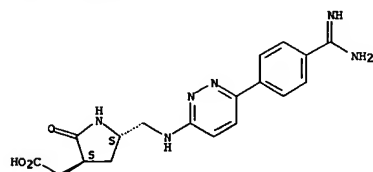
RN 149355-53-3 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149367-96-4 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-(aminoiminomethyl)phenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

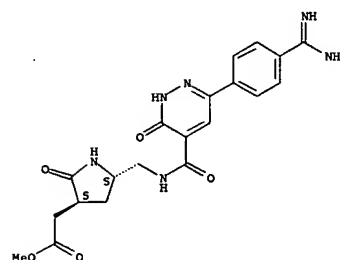
Absolute stereochemistry.



RN 149377-23-1 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-(aminoiminomethyl)phenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

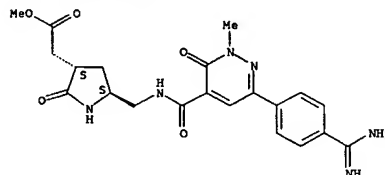


PAGE 2-A

● HCl

RN 149377-24-2 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[[[6-(4-(aminoiminomethyl)phenyl)-2,3-dihydro-2-methyl-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

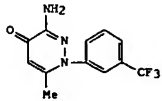
Absolute stereochemistry.



● HCl

L10 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1992:557539 CAPLUS
 DOCUMENT NUMBER: 117:157539

TITLE: Characterization of polymorphs and solvates of 3-amino-1-(4-(trifluoromethyl)phenyl)-6-methyl-1H-pyridazin-4-one
 AUTHOR(S): Chauvet, Alain; Masse, Jacqueline; Ribet, Jean Paul; Bigg, Dennis; Autin, Jean Marie; Maurel, Jean Louis; Patoiseau, Jean Francois; Jaud, Joel
 CORPORATE SOURCE: Lab. Chim. Gen. Miner., Fac. Pharm., Montpellier, 34100, Fr.
 SOURCE: Journal of Pharmaceutical Sciences (1992), 81(8), 836-41
 CODEN: JPMSAE; ISSN: 0022-3549
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB The characterization of two polymorphs of the title compound F-2692 (I) by DSC, NMR spectroscopy, thermogravimetry, thermomicroscopy, IR spectroscopy, and x-ray diffractometry is described. Both polymorphs are crystalline, with form being more stable at temps. <160°. The thermal behavior was studied at different rates of heating, and the enthalpies of transition were calculated from DSC data. The transformation of aqueous suspensions of form I to the water-stable form II is described, and the heats of solution and intrinsic aqueous dissoln. rates of both polymorphs were

determined. F-2692 also formed solvates with DMSO and 1-methyl-2-pyrrolidinone. The solvates were studied by thermogravimetry, DSC, and IR spectroscopy.

IT 143556-16-5P
 RI: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and properties of)

RN 143556-16-5 CAPLUS
 CN 4(1H)-Pyridazinone, 3-amino-6-methyl-1-[3-(trifluoromethyl)phenyl]-, compd. with 1-methyl-2-pyrrolidinone (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133220-91-4
 CMF C12 H10 F3 N3 O

L10 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1987:617646 CAPLUS
 DOCUMENT NUMBER: 107:217646

TITLE: Preparation of diazinylpiperidines as psychoanaleptic agents
 INVENTOR(S): Mattson, Ronald J.; Yevich, Joseph P.
 PATENT ASSIGNEE(S): Bristol-Myers Co., USA
 SOURCE: Fr. Demande, 30 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

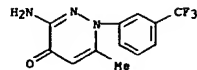
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| FR 2584408 | A1 | 19870109 | FR 1986-9666 | 19860703 |
| FR 2584408 | B1 | 19890602 | | |
| ZA 8604594 | A | 19870225 | ZA 1986-4594 | 19860619 |
| FI 8602830 | A | 19870109 | FI 1986-2830 | 19860703 |
| FI 88300 | B | 19930115 | | |
| FI 88300 | C | 19930426 | | |
| BE 905061 | A1 | 19870107 | BE 1986-216887 | 19860707 |
| DK 8603239 | A | 19870109 | DK 1986-3239 | 19860707 |
| DK 170441 | B1 | 19950904 | | |
| NO 8602729 | A | 19870109 | NO 1986-2729 | 19860707 |
| NO 167389 | B | 19910722 | | |
| NO 167389 | C | 19911030 | | |
| SE 8603026 | A | 19870109 | SE 1986-3026 | 19860707 |
| SE 462491 | B | 19900702 | | |
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| AU 8659787 | A1 | 19870115 | AU 1986-59787 | 19860707 |
| AU 595215 | B2 | 19900329 | | |
| GB 2177692 | A1 | 19870128 | GB 1986-16504 | 19860707 |
| GB 2177692 | B2 | 19890712 | | |
| NL 8601763 | A | 19870202 | NL 1986-1763 | 19860707 |
| HU 41405 | A2 | 19870428 | HU 1986-2835 | 19860707 |
| HU 199455 | B | 19900228 | | |
| CH 671579 | A | 19890915 | CH 1986-2740 | 19860707 |
| IL 79351 | A1 | 19900319 | IL 1986-79351 | 19860707 |
| CA 1272725 | A1 | 19900814 | CA 1986-513196 | 19860707 |
| DE 3622842 | A1 | 19870305 | DE 1986-3622842 | 19860708 |
| DE 3622842 | C2 | 19960829 | | |
| JP 62070371 | A2 | 19870331 | JP 1986-160672 | 19860708 |
| JP 04005675 | B4 | 19920203 | | |
| CN 86104681 | A | 19870527 | CN 1986-104681 | 19860708 |
| CN 1012364 | B | 19910417 | | |
| ES 2000476 | A6 | 19880301 | ES 1986-190 | 19860708 |
| AT 8601852 | A | 19920815 | AT 1986-1852 | 19860708 |
| AT 395850 | B | 19930325 | | |
| PRIORITY APPLN. INFO.: | | | US 1985-753006 | A 19850708 |
| | | | US 1986-868468 | A 19860530 |

GI For diagram(s), see printed CA Issue.

AB The title compds. [I: R1 = H, Cl-4 alkyl; R2 = (un)substituted pyridazinyl, pyrimidinyl, pyrazinyl; X = CH2CH2, Z = CH2; X = 1,2-C6H4, Z = CH2, CO] were prepared as psychoanaleptic agents.

1-(4-Pyridinylmethyl)-2-pyrrolidinone [prepared from 4-(chloromethyl)pyridine and 2-pyrrolidinone] was hydrogenated over Pt2O in HCl/EtOH to give the corresponding piperidine derivative which was stirred 14 h with 2,4-dichloropyrimidine in DMF containing Na2CO3 to give

L10 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CM 2

CRN 872-50-4
 CMF C5 H9 N O



L10 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

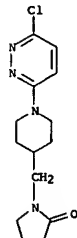
[[pyrimidinylpiperidinyl]methyl]pyrrolidinone II. At 0.5 mg/kg s.c. and orally II suppressed electroconvulsive shock-induced amnesia in mice.

IT 111247-41-7P 111247-53-1P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as psychoanaleptic agent)

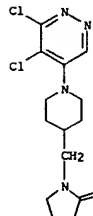
RN 111247-41-7 CAPLUS

CN 2-Pyrrolidinone, 1-[[1-(6-chloro-3-pyridazinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 111247-53-1 CAPLUS

CN 2-Pyrrolidinone, 1-[[1-(5,6-dichloro-4-pyridazinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

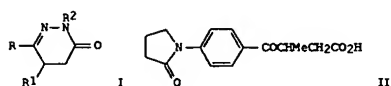


L10 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1986:497487 CAPLUS
 DOCUMENT NUMBER: 105:97487
 TITLE: 4,5-Dihydro-3(2H)-pyridazinones
 INVENTOR(S): Zoller, Gerhard; Beyerle, Rudi; Just, Melitta; Bohn, Helmut; Piero, Martorana; Nitz, Rolf Eberhard
 PATENT ASSIGNEE(S): Cassella A.-G., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 32 pp.
 CODEN: GWXOBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| DE 3434680 | A1 | 19860403 | DE 1984-3434680 | 19840921 |
| US 4816454 | A | 19890328 | US 1985-775420 | 19850912 |
| DK 8504171 | A | 19860322 | DK 1985-4171 | 19850913 |
| FI 8503519 | A | 19860322 | FI 1985-3519 | 19850913 |
| JP 61085367 | A2 | 19860430 | JP 1985-204564 | 19850918 |
| EP 175363 | A2 | 19860326 | EP 1985-111838 | 19850919 |
| EP 175363 | A3 | 19870311 | | |
| EP 175363 | B1 | 19900117 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| AT 49594 | E | 19900215 | AT 1985-111838 | 19850919 |
| ES 547169 | A1 | 19860316 | ES 1985-547169 | 19850920 |
| AU 8547661 | A1 | 19860410 | AU 1985-47661 | 19850920 |
| ZA 8507225 | A | 19860528 | ZA 1985-7225 | 19850920 |
| HU 40646 | A2 | 19870128 | HU 1985-3555 | 19850920 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | DE 1984-3434680 | A |
| | | | DE 1985-3522193 | A |
| | | | EP 1985-111838 | A |

GI

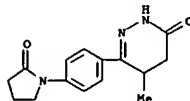


II

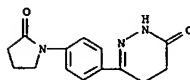
AB The title compds. [I: R = substituted Ph, (un)substituted benzoxazinyl, pyrrolyl, pyrazolyl, indolyl; R¹, R² = H, alkyl] were prepared as cardiovascular agents (no data). Thus, 1-phenyl-2-pyrrolidinone underwent Friedel-Craft acylation with methylmaleic anhydride and the resulting butenoic acid derivative (69% yield) was reduced with Zn dust in HOAc to give 46% (pyrrolidinylphenyl)butanoate II. This was refluxed in EtOH with N₂H₄·H₂O to give 81% I [R = 4-(2-oxo-1-pyrrolidinyl)phenyl, R¹ = Me, R² = H]. Tablets were prepared each containing I 50, lactose 150, white cornstarch 230, polyvinylpyrrolidone 15, and Mg stearate 5 mg.

IT 103876-73-9P 103876-76-2P 103876-78-4P

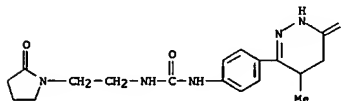
L10 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as cardiovascular agent)
 RN 103876-73-9 CAPLUS
 CN 3(2H)-Pyridazinone, 4,5-dihydro-5-methyl-6-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 103876-76-2 CAPLUS
 CN 3(2H)-Pyridazinone, 4,5-dihydro-6-[4-(2-oxo-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 103876-78-4 CAPLUS
 CN Urea, N-[2-(2-oxo-1-pyrrolidinyl)ethyl]-N'-[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]- (9CI) (CA INDEX NAME)



10/ 725,267

=> d his

(FILE 'HOME' ENTERED AT 11:03:21 ON 01 DEC 2005)

FILE 'REGISTRY' ENTERED AT 11:03:30 ON 01 DEC 2005

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 STRUCTURE UPLOADED
L4 0 S (L1 OR L2 OR L3) SAMPLE
L5 0 S (L1 OR L2 OR L3) FULL
L6 1620 S PYRIDAZ? AND PYRROLIDIN?
L7 0 S PYRIDAZ? WITH PYRROLIDIN?
L8 0 S PYRIDAZ? SAME PYRROLIDIN?

FILE 'CAPLUS' ENTERED AT 11:06:45 ON 01 DEC 2005

L9 523 S L6
L10 14 S L9 AND (PYRROLIDINONE OR "OXO-PYRROLIDINYL")

=> log y